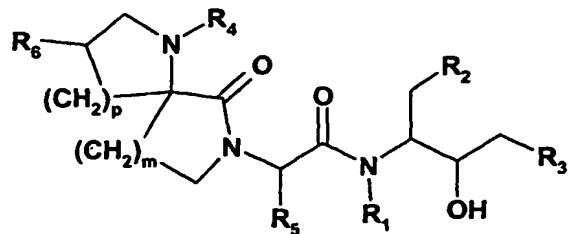


Claims

1. A compound of the formula



wherein

R₁ is hydrogen or (C₁₋₄)alkyl,

R₂ is optionally substituted (C₁₋₈)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl(C₁₋₄)alkyl, aryl or heteroaryl,

R₃ is -CH(R_e)C(=O)N(R_a)R_b or -(CH₂)_kN(R_c)R_d, wherein

k is 0, 1 or 2,

R_a, R_b, R_c and R_d, independently, are hydrogen or an optionally substituted (C₁₋₈)alkyl, (C₅₋₉)bicycloalkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl(C₁₋₄)alkyl, aryl, aryl(C₁₋₄)alkyl, heteroaryl, heteroaryl(C₁₋₄)alkyl, 4-chromanyl, 1,2,3,4-tetrahydro-quinolin-4-yl, 1,2,3,4-tetrahydro-naphthalen-1-yl, thiochroman-4-yl-1,1-dioxide, 4-isochromanyl, 1,2,3,4-tetrahydro-isoquinolin-4-yl, thioisochroman-4-yl-1,1-dioxide, 1,1-dioxo-1,2,3,4-tetrahydro-1λ⁶-benzo[e][1,2]thiazin-4-yl, 1,1-dioxo-3,4-dihydro-1H-1λ⁶-benzo[c][1,2]oxathiin-4-yl, 2,2-dioxo-1,2,3,4-tetrahydro-2λ⁶-benzo[c][1,2]thiazin-4-yl or 2,2-dioxo-3,4-dihydro-2H-2λ⁶-benzo[e][1,2]oxathiin-4-yl group, or

R_a and R_b, or R_c and R_d, together with the nitrogen to which they are attached, form an optionally substituted pyrrolidinyl, piperidinyl, morpholinyl or piperazinyl group, and

R_e is (C₁₋₈)alkyl, (C₁₋₄)alkoxy(C₁₋₄)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl(C₁₋₄)alkyl,

R₄ is hydrogen or an optionally substituted (C₁₋₈)alkyl, (C₁₋₄)alkoxy(C₁₋₄)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl(C₁₋₄)alkyl, (C₂₋₆)alkenyl, (C₂₋₆)alkynyl, (C₃₋₇)cycloalkoxy(C₁₋₄)alkyl or aryl group,

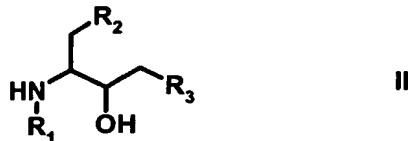
R₅ is hydrogen or optionally substituted (C₁₋₄)alkyl,

R₆ is hydrogen, hydroxy or halogen, and

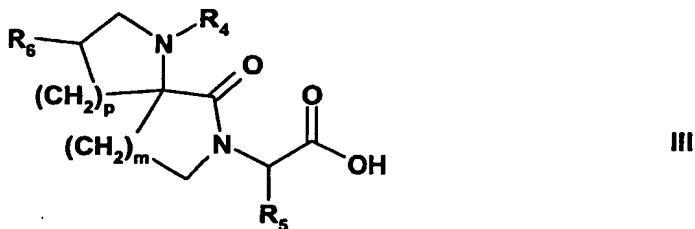
m and p, independently, are 1 or 2,

in free base form or in acid addition salt form.

2. A process for the preparation of a compound as defined in claim 1 of the formula I, in free base form or in acid addition salt form, comprising the steps of acylating a compound of the formula



wherein R₁, R₂ and R₃ are as defined for the formula I, with an acid of the formula



wherein R₄, R₅, R₆, m and p are as defined for the formula I, or an activated form, such as an ester or an acid halogenide, thereof and recovering the so obtainable compound of the formula I in free base form or in acid addition salt form.

3. A compound according to claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, for use as a pharmaceutical.

4. A compound according to claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, for use in the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation.

5. A pharmaceutical composition comprising a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, as active ingredient and a pharmaceutical carrier or diluent.

6. The use of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, as a pharmaceutical for the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation.

7. The use of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, for the manufacture of a medicament for the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation.
8. A method for the treatment of neurological or vascular disorders related to beta-amyloid generation and/or aggregation in a subject in need of such treatment, which comprises administering to such subject a therapeutically effective amount of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form.
9. A combination comprising a therapeutically effective amount of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, and a second drug substance, for simultaneous or sequential administration.
10. The use of a compound as claimed in claim 1, in free base form or in pharmaceutically acceptable acid addition salt form, as histopathological labeling agent, imaging agent and/or biomarker for the selective labeling of the beta-secretase cleaving enzyme BACE.